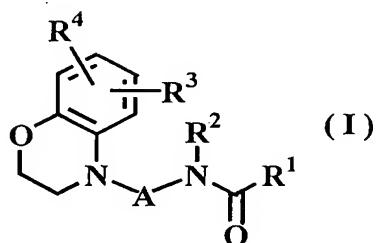


CLAIMS

1. A benzomorpholine derivative or pharmaceutically acceptable salt thereof represented by formula I,



5

wherein

A is C₂₋₄ alkylene, C₂₋₄ alkenylene, or C₂₋₄ alkynylene,

R¹ is:

(1) unsubstituted aryl or heteroaryl, or aryl or heteroaryl substituted with one or a plurality of substituents independently selected from the following group,

a) C₁₋₅ alkyl, b) C₁₋₅ alkoxy c) C₃₋₈ cycloalkyl, d) C₁₋₅ haloalkyl, e) phenyl, f) phenoxy, g) hydroxyl, h) C₁₋₅ hydroxyalkyl, i) C₁₋₅ haloalkyloxy, j) mercapto, k) C₁₋₅ alkylthio, l) C₁₋₅ haloalkylthio, m) halogen, n) cyano, o) nitro, p) amino, q) C₁₋₅ alkylamino, r) C₂₋₁₀ dialkylamino, s) acyl, t) carboxyl, u) C₂₋₆ alkyloxycarbonyl, v) mesyl, w) trifluoromethanesulfonyl, and x) tosyl; or

(2) unsubstituted C₁₋₅ alkyl, C₃₋₈ cycloalkyl, C₂₋₁₀ alkenyl, C₄₋₁₀ cycloalkenyl, or C₂₋₁₀ alkynyl, or C₁₋₅ alkyl, C₃₋₈ cycloalkyl, C₂₋₁₀ alkenyl, C₄₋₁₀ cycloalkenyl, or C₂₋₁₀ alkynyl substituted with one or a plurality of substituents independently selected from the following group,

a) phenyl, b) hydroxyl, c) C₁₋₅ alkyl, d) C₃₋₈ cycloalkyl, e) C₁₋₅ haloalkyl, and f) halogen;

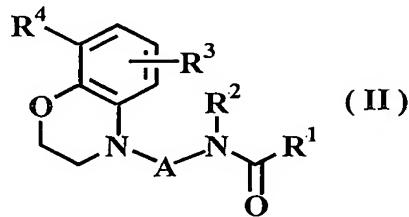
20 R² is unsubstituted aryl or heteroaryl, or aryl or heteroaryl substituted with one or a plurality of substituents independently selected from the following group,

a) C₁₋₅ alkyl, b) C₁₋₅ alkoxy, c) C₃₋₈ cycloalkyl, d) C₁₋₅ haloalkyl, e) phenyl, f) phenoxy, g) hydroxyl, h) C₁₋₅ hydroxyalkyl, i) C₁₋₅ haloalkyloxy, j) mercapto, k) C₁₋₅ alkylthio, l) C₁₋₅ haloalkylthio, m) halogen, n) cyano, o) nitro, p) amino, q) C₁₋₅ alkylamino, r) C₂₋₁₀

dialkylamino, s) acyl, t) carboxyl, u) C₂₋₆ alkyloxycarbonyl, v) mesyl, w) trifluoromethanesulfonyl, and x) tosyl;

R³ is hydrogen, halogen, C₁₋₅ alkyl, or C₁₋₅ alkoxy; R⁴ is -X-(CH₂)_n-COOR⁵, and X is -O-, -S-, or -CH₂-; R⁵ is hydrogen or C₁₋₅ alkyl; and n is an integer that is 1, 2, or 3.

5 2. The benzomorpholine derivative or pharmaceutically acceptable salt thereof according to claim 1 represented by general formula (II),



wherein A, R¹, R², R³, and R⁴ are as defined in claim 1.

10 3. The benzomorpholine derivative or pharmaceutically acceptable salt thereof according to claim 1, wherein A is ethylene.

4. The benzomorpholine derivative or pharmaceutically acceptable salt thereof according to claim 1, wherein R¹ is unsubstituted aryl or heteroaryl, or aryl or heteroaryl substituted with one or a plurality of substituents which are as defined in claim 1.

15 5. The benzomorpholine derivative or pharmaceutically acceptable salt thereof according to claim 4, wherein R¹ is unsubstituted phenyl, furyl, thienyl, or pyridyl, or phenyl, furyl, thienyl, or pyridyl substituted with one or a plurality of substituents which are as defined in claim 1.

6. The benzomorpholine derivative or pharmaceutically acceptable salt thereof according to claim 5, wherein R¹ is unsubstituted phenyl, furyl, thienyl, or pyridyl, or phenyl, furyl, thienyl, or pyridyl substituted with one or a plurality of substituents independently selected from the following group,

a) C₁₋₅ alkyl, b) C₁₋₅ alkoxy, c) C₁₋₅ haloalkyl, d) hydroxyl, e) C₁₋₅ haloalkyloxy, f) C₁₋₅ alkylthio, g) C₁₋₅ haloalkylthio, h) halogen, i) cyano, j) C₂₋₁₀ dialkylamino, k) acetyl, l) C₂₋₆ alkyloxycarbonyl, m) mesyl, n) trifluoromethanesulfonyl, and o) tosyl.

25 7. The benzomorpholine derivative or pharmaceutically acceptable salt thereof according to claim 6, wherein R¹ is unsubstituted phenyl, furyl, thienyl, or pyridyl or phenyl, furyl, thienyl,

or pyridyl substituted with one or a plurality of substituents independently selected from the following group,

a) C₁₋₅ alkyl, b) C₁₋₅ alkoxy, c) C₁₋₅ haloalkyl, d) hydroxyl, h) halogen, and i) cyano.

8. The benzomorpholine derivative or pharmaceutically acceptable salt thereof according to 5 claim 1, wherein R² is unsubstituted phenyl or pyridyl, or phenyl or pyridyl substituted with one or a plurality of substituents which are as defined in claim 1.

9. The benzomorpholine derivative or pharmaceutically acceptable salt thereof according to claim 8, wherein R² is unsubstituted phenyl or pyridyl, or phenyl or pyridyl substituted with one or a plurality of substituents independently selected from the following group,

10 a) C₁₋₅ alkyl, b) C₁₋₅ alkoxy, c) C₁₋₅ haloalkyl, d) hydroxyl, e) C₁₋₅ haloalkyloxy, f) C₁₋₅ alkylthio, g) C₁₋₅ haloalkylthio, h) halogen, i) cyano, j) amino, k) C₂₋₁₀ dialkylamino, l) acyl, m) C₂₋₆ alkyloxycarbonyl, n) mesyl, o) trifluoromethanesulfonyl, and p) tosyl.

10. The benzomorpholine derivative or pharmaceutically acceptable salt thereof according to claim 9, wherein R² is unsubstituted phenyl or pyridyl, or phenyl or pyridyl substituted with 15 one or a plurality of substituents independently selected from the following group,

a) C₁₋₅ alkyl, b) C₁₋₅ alkoxy, c) C₁₋₅ haloalkyl, d) C₁₋₅ haloalkyloxy, e) C₁₋₅ alkylthio, f) halogen, and g) C₂₋₁₀ dialkylamino.

11. The benzomorpholine derivative or pharmaceutically acceptable salt thereof according to claim 1, wherein X is -O-.

20 12. A drug comprising the benzomorpholine derivative according to claim 1 as an active ingredient.

13. A platelet aggregation inhibitor or prophylactic comprising the benzomorpholine derivative according to claim 1 as an active ingredient.

25 14. The platelet aggregation inhibitor or prophylactic according to claim 13, which is used for treating or preventing thrombosis or diseases accompanying thrombus.

15. The platelet aggregation inhibitor or prophylactic according to claim 14, wherein the thrombosis is thrombosis in coronary arteries, cerebral arteries, peripheral arteries, or peripheral veins.

16. The platelet aggregation inhibitor or prophylactic according to claim 14, wherein the disease accompanying thrombus is myocardial infarction, unstable angina, cerebral infarction, transient ischemic attack, or chronic arterial occlusion.